

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
23 October 2003 (23.10.2003)

PCT

(10) International Publication Number
WO 03/086384 A1

(51) International Patent Classification⁷: **A61K 31/341**,
31/215, C07F 9/117

Chidori-cho, Kawasaki-ku, Kawasaki-shi, Kanagawa
210-0865 (JP).

(21) International Application Number: PCT/JP03/04659

(74) Agents: **ISHIDA, Takashi** et al.; A. AOKI, ISHIDA &
ASSOCIATES, Toranomom 37 Mori Bldg., 5-1, Tora-
nomom 3-chome, Minato-ku, Tokyo 105-8423 (JP).

(22) International Filing Date: 11 April 2003 (11.04.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
2002-110341 12 April 2002 (12.04.2002) JP
60/373,609 19 April 2002 (19.04.2002) US

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU,
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,
CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK,
LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX,
MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE,
SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ,
VC, VN, YU, ZA, ZM, ZW.

(71) Applicant (*for all designated States except US*): **SHOWA
DENKO K. K.** [JP/JP]; 13-9, Shiba Daimon 1-chome, Mi-
nato-ku, Tokyo 105-8518 (JP).

(84) Designated States (*regional*): ARIPO patent (GH, GM,
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO,
SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM,
GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(72) Inventors; and

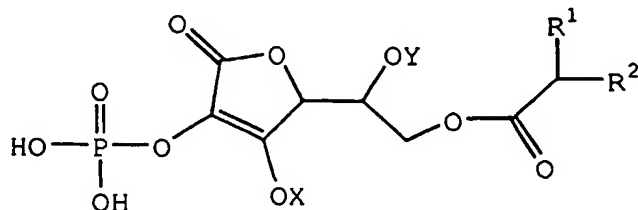
(75) Inventors/Applicants (*for US only*): **NISHIMURA,
Norihito** [JP/JP]; C/O KAWASAKI PLANT, SHOWA
DENKO K.K., 2-3, Chidori-cho, Kawasaki-ku,
Kawasaki-shi, Kanagawa 210-0865 (JP). **ISHII, Hiroshi**
[JP/JP]; C/O KAWASAKI PLANT, SHOWA DENKO
K.K., 2-3, Chidori-cho, Kawasaki-ku, Kawasaki-shi,
Kanagawa 210-0865 (JP). **SAITO, Makoto** [JP/JP];
C/O KAWASAKI PLANT, SHOWA DENKO K.K., 2-3,

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: STABILIZED ASCORBIC ACID DERIVATIVES



(1)

(57) Abstract: An ascorbic acid derivative, which is a compound represented by the following general formula (1) or a salt thereof:
[Chemical Formula 11] (1)(wherein X and Y each represents H or a protective group for OH, R₁ and R₂ each represents an alkyl
group having from 1 to 19 carbon atoms, which may be linear or branched, and the total number of carbon atoms in R₁ and R₂ is an
integer of 5 to 22).

WO 03/086384 A1

A. CLASSIFICATION OF SUBJECT MATTER
 IPC 7 A61K31/341 A61K31/215 C07F9/117

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
 IPC 7 A61K C07F

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	EP 0 875 514 A (SHOWA DENKO KK) 4 November 1998 (1998-11-04) page 3, line 1 - line 20	1-19
A	EP 1 074 242 A (BEIERSDORF AG) 7 February 2001 (2001-02-07) paragraph '0015!	1-19
	-/-	

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents :

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- *Z* document member of the same patent family

Date of the actual completion of the international search

7 July 2003

Date of mailing of the international search report

29/07/2003

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
 NL - 2280 HV Rijswijk
 Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
 Fax: (+31-70) 340-3016

Authorized officer

Zellner, A

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	<p>DATABASE CA 'Online! CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; MOTOI, TOSHIYUKI: "Cosmetics containing 6-O-acylascorbic acid phosphate salts" retrieved from STN Database accession no. 105:29804 XP002246599 abstract & JP 61 050908 A (KANEBO, LTD., JAPAN) 13 March 1986 (1986-03-13)</p> <p>----</p>	1-19
A	<p>DATABASE CA 'Online! CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; SANTEN PHARMACEUTICAL CO., LTD., JAPAN: "Ascorbic acid derivatives" retrieved from STN Database accession no. 100:175214 XP002073275 abstract & JP 58 222078 A (SANTEN PHARMACEUTICAL CO., LTD., JAPAN) 23 December 1983 (1983-12-23)</p> <p>----</p>	1-19
A	<p>US 4 939 128 A (KATO KANEYOSHI ET AL) 3 July 1990 (1990-07-03) column 9; example 12</p> <p>----</p>	1-19
A,P	<p>EP 1 264 600 A (SHOWA DENKO KK) 11 December 2002 (2002-12-11) page 4, paragraphs 22,23</p> <p>----</p>	1-19
A	<p>EP 0 875 246 A (SHOWA DENKO KABUSHIKI KAISHA, JAPAN) 4 November 1998 (1998-11-04) the whole document</p> <p>-----</p>	1-19

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
EP 0875514	A	04-11-1998	JP 10298174 A	10-11-1998
			EP 0875514 A1	04-11-1998
			US 6127409 A	03-10-2000
EP 1074242	A	07-02-2001	DE 19936686 A1	08-02-2001
			EP 1074242 A2	07-02-2001
JP 61050908	A	13-03-1986	NONE	
JP 58222078	A	23-12-1983	JP 1686795 C	11-08-1992
			JP 3055470 B	23-08-1991
US 4939128	A	03-07-1990	AU 609283 B2	26-04-1991
			AU 3316189 A	26-10-1989
			CA 1318315 C	25-05-1993
			CN 1037341 A	22-11-1989
			DK 191589 A	26-10-1989
			EP 0339486 A2	02-11-1989
			HU 51279 A2	28-04-1990
			JP 2028189 A	30-01-1990
			SU 1838320 A3	30-08-1993
EP 1264600	A	11-12-2002	AU 3600101 A	12-09-2001
			CA 2401352 A1	07-09-2001
			EP 1264600 A1	11-12-2002
			WO 0164245 A1	07-09-2001
			US 2003035812 A1	20-02-2003
			US 2001041182 A1	15-11-2001
EP 0875246	A	04-11-1998	EP 0875246 A1	04-11-1998
			JP 10330261 A	15-12-1998
			US 2002010210 A1	24-01-2002